

4.12.99

Aulakh 09/131,385

=> d his

(FILE 'CAPLUS' ENTERED AT 09:21:24 ON 12 APR 1999)
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 09:22:58 ON 12 APR 1999
ACT AULAKH/A

L1 STR
L2 17 SEA FILE=REGISTRY SSS FUL L1

E PROPOFOL/CN
L3 1 S E3
L4 31 S 2078-54-8/CRN
L5 0 S L4 AND P/ELS

FILE 'CAPLUS' ENTERED AT 09:23:32 ON 12 APR 1999

~~L6 61 S HID~~
L7 9 S L2
L8 1560 S L3
L9 96 S L8 AND 63/SX,SC
~~L10 7 S L9 AND (ORAL? OR PARENTAL?)~~
L11 13 S L9 AND (ORAL? OR PARENTER?)
L12 13 S L11 NOT L7

FILE 'REGISTRY' ENTERED AT 09:25:32 ON 12 APR 1999

=> fil reg

FILE 'REGISTRY' ENTERED AT 09:26:54 ON 12 APR 1999
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STRUCTURE FILE UPDATES: 9 APR 99 HIGHEST RN 221107-77-3
 DICTIONARY FILE UPDATES: 11 APR 99 HIGHEST RN 221107-77-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 13, 1999

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

=> d his 11-15

(FILE 'CAPLUS' ENTERED AT 09:21:24 ON 12 APR 1999)
 DEL HIS Y

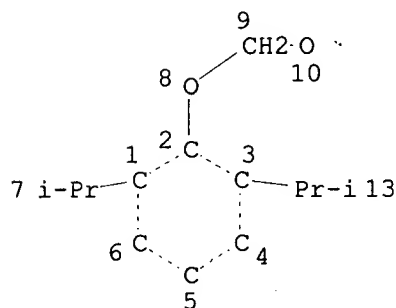
FILE 'REGISTRY' ENTERED AT 09:22:58 ON 12 APR 1999
 ACT AULAKH/A

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L1          STR
L2          17 SEA FILE=REGISTRY SSS FUL L1
          -----
          E PROPOFOL/CN
L3          1 S E3
L4          31 S 2078-54-8/CRN
L5          0 S L4 AND P/ELS
  
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=> d que stat 12

L1 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 11

Aulakh 09/131,385

STEREO ATTRIBUTES: NONE

L2 17 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 611 ITERATIONS

SEARCH TIME: 00.00.01

17 ANSWERS

**none of these structures contains o-p=0*

=> d que 13;d 13

L3 1 SEA FILE=REGISTRY ABB=ON PROPOFOL/CN

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 1999 ACS

RN 2078-54-8 REGISTRY

CN Phenol, 2,6-bis(1-methylethyl)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Phenol, 2,6-diisopropyl- (6CI, 8CI)

OTHER NAMES:

CN 2,6-Bis(1-methylethyl)phenol

CN 2,6-Bis(isopropyl)phenol

CN 2,6-Diisopropylphenol

CN Diprivan

CN Diprivan 10

CN ICI 35868

CN PD 18215

CN **Propofol**

FS 3D CONCORD

DR 28449-97-0, 50356-15-5

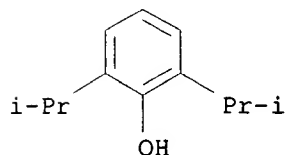
MF C12 H18 O

CI COM

LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CBNB, CIN, CSCHEM, DETHERM*, DDFU, DRUGPAT, DRUGU, EMBASE, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, PHAR, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, USAN, USPATFULL, VETU
(*File contains numerically searchable property data)

Other Sources: DSL**, EINECS**, TSCA**, WHO

(**Enter CHEMLIST File for up-to-date regulatory information)



1551 REFERENCES IN FILE CA (1967 TO DATE)

25 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1559 REFERENCES IN FILE CAPLUS (1967 TO DATE)

35 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> d his 14-15

(FILE 'REGISTRY' ENTERED AT 09:22:58 ON 12 APR 1999)

L4 31 S 2078-54-8/CRN
L5 0 S L4 AND P/ELS

*no mixtures with propofol
and "7"*

=> fil caplus

FILE 'CAPLUS' ENTERED AT 09:27:18 ON 12 APR 1999
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FILE COVERS 1967 - 12 Apr 1999 VOL 130 ISS 16
FILE LAST UPDATED: 12 Apr 1999 (19990412/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d his 17-

(FILE 'CAPLUS' ENTERED AT 09:23:32 ON 12 APR 1999)

L7 9 S L2
L8 1560 S L3
L9 96 S L8 AND 63/SX,SC
~~L10 7 S L9 AND (ORAL? OR PARENTAL?)~~
L11 13 S L9 AND (ORAL? OR PARENTER?)
L12 13 S L11 NOT L7

*references with propofol and oral
OR parenteral use*

FILE 'REGISTRY' ENTERED AT 09:25:32 ON 12 APR 1999

FILE 'REGISTRY' ENTERED AT 09:26:54 ON 12 APR 1999

FILE 'CAPLUS' ENTERED AT 09:27:18 ON 12 APR 1999

=> d .ca hitstr 17 1-9

L7 ANSWER 1 OF 9 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1996:84020 CAPLUS

DOCUMENT NUMBER: 124:220093

TITLE: (2E,4E)-N-(4-(1H-Indol-3-yl)piperidin-1-yl)alkyl-5-(substituted phenyl)-2,4-pentadienamides as antiallergic agents with antihistaminic and anti slow-reacting substance (SRS) activities

AUTHOR(S): Shigenaga, Shinji; Manabe, Takashi; Matsuda, Hiroshi; Fujii, Takashi; Matsuo, Masaaki

CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharmaceutical Co., Ltd.,

SOURCE: Osaka, 532, Japan
Arch. Pharm. (Weinheim, Ger.) (1996), 329(1), 3-10
CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:220093

AB As an extension of the authors study aiming to discover a novel compd. with dual activities against histamine and slow-reacting substance (SRS), the authors synthesized two types of indolylpiperidine derivs. Testing for in vivo antianaphylactic activity and for in vitro anti-SRS activity revealed that

(2E,4E)-5-(3,5-dimethoxy-4-hydroxyphenyl)-N-(2-(4-(1H-indol-3-yl)piperidin-1-yl)ethyl)-2,4-pentadienamide (I) exhibited potent dual activities with ED50 = 0.89 mg/kg and IC50 = 1.43 .mu.M, resp. However, the plasma concn. of unchanged I was very low when administered orally in guinea pigs. This result can be explained by fast formation of a glucuronic acid conjugate.

CC 1-9 (Pharmacology)

Section cross-reference(s): 25, 28

IT 28010-23-3P 28169-16-6P 57311-64-5P 57311-67-8P 57311-68-9P
101619-46-9P 101620-00-2P 101620-01-3P 101641-07-0P 124955-98-2P
124956-11-2P **124956-12-3P** 124956-13-4P 124956-14-5P
124956-15-6P 124956-17-8P 124956-29-2P 174654-58-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of (indolyl)piperidinylalkyl(substituted phenyl)pentadienamides as antiallergic agents with antihistaminic and anti-slow-reacting substance activities in relation to structure)

IT 75-36-5, Acetyl chloride 77-92-9, Citric acid, reactions 134-96-3,
3,5-Dimethoxy-4-hydroxybenzaldehyde 574-98-1, N-(2-Bromoethyl)phthalimide 17403-09-7, 4-(1H-Indol-3-yl)piperidine
78765-31-8 82929-84-8 99815-24-4 124955-99-3 **124956-00-9**
124956-01-0 124956-02-1 124956-03-2 124956-04-3

RL: RCT (Reactant)
(reactant; prepn. of (indolyl)piperidinylalkyl(substituted phenyl)pentadienamides as antiallergic agents with antihistaminic and anti-slow-reacting substance activities in relation to structure)

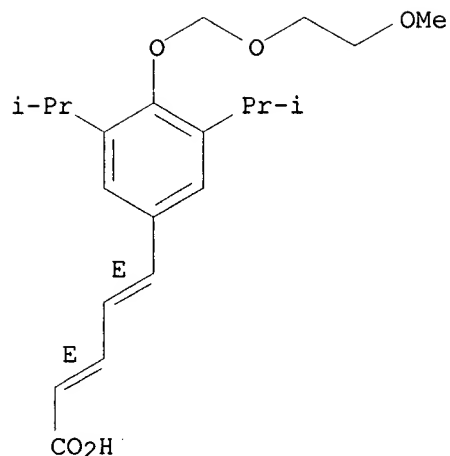
IT **124956-12-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of (indolyl)piperidinylalkyl(substituted phenyl)pentadienamides as antiallergic agents with antihistaminic and anti-slow-reacting substance activities in relation to structure)

RN 124956-12-3 CAPLUS

CN 2,4-Pentadienoic acid, 5-[4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)phenyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



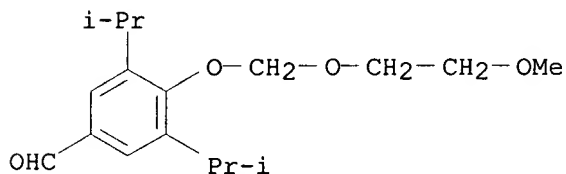
IT 124956-00-9

RL: RCT (Reactant)

(reactant; prepn. of (indolyl)piperidinylalkyl(substituted phenyl)pentadienamides as antiallergic agents with antihistaminic and anti-slow-reacting substance activities in relation to structure)

RN 124956-00-9 CAPLUS

CN Benzaldehyde, 4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)- (9CI)
(CA INDEX NAME)



L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1995:954552 CAPLUS

DOCUMENT NUMBER: 124:29620

TITLE: Preparation of 3-amino/hydroxy-4-[4-benzoylphenylcarboxylamino/oxy]azepine and homolog protein kinase inhibitors

INVENTOR(S): Barbier, Pierre; Huber, Isabelle; Schneider, Fernand; Stadlwieser, Josef; Taylor, Sven

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: Eur. Pat. Appl., 47 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 663393	A1	19950719	EP 94-120924	19941230

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,

SE

AU 9481670	A1	19950720	AU 94-81670	19941222
AU 686691	B2	19980212		
CA 2139391	AA	19950713	CA 94-2139391	19941230
US 5583222	A	19961210	US 95-368690	19950104
JP 07224030	A2	19950822	JP 95-2587	19950111
US 5750706	A	19980512	US 96-706896	19960903
PRIORITY APPLN. INFO.:			CH 94-88	19940112
			US 95-368690	19950104

OTHER SOURCE(S): MARPAT 124:29620

AB The title compds. [I; A = (un)substituted Ph, (un)substituted pyridyl, (un)substituted piperazinyl; R1, R9 = H, F; R2 = H, F, alkoxy; R3 = H, F, alkoxy, CF3, alkoxycarbonyl, (un)substituted tetrazolyl; R4 = H, OH, alkoxy, alkyl, Cl, F, acetyl, CF3, etc.; R5 = H, alkoxy, F, CF3; R6 = H, OH, alkoxy, F, 2,4-difluorophenyl, alkanoyl, Bz, NO2, etc.; R7 = H, OH, alkoxy, CO2H, NH2, F; R8 = H, alkoxy, alkyl, F; R15 = H, amidino; X, Y = O, NH; Z = O, H; n = 1-3; X and Y cannot simultaneously both be NH], useful as protein kinase inhibitors for the treatment of protein kinase-mediated diseases (e.g., alopecia, etc.), are prepd. and I-contg. formulations presented. Thus, (3R,4R)-3-(4-hydroxy-3,5-dimethylbenzoylamino)azepan-4-yl 4-(2-fluoro-6-hydroxy-3-methoxybenzoyl)benzoate hydrochloride, prepd. from tert-Bu

(3R,4R)-4-[4-(2-fluoro-3-methoxy-6-methoxymethoxybenzoyl)benzoyloxy]-3-(4-methoxymethoxy-3,5-dimethylbenzoylamino)azepine-1-carboxylate, demonstrated a IC50 for protein kinase C of 0.011 .mu.M.

IC ICM C07D207-12

ICS C07D207-14; C07D211-40; C07D211-56; C07D223-12; C07D223-08;
C07D401-12; C07D405-12; C07D417-12; A61K031-40; A61K031-445;
A61K031-55

CC 27-21 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 63

IT 1184-90-3, Formamidinesulfonic acid 170909-71-4 170909-72-5
170909-73-6 170909-74-7 170909-75-8 170909-76-9 170909-77-0
170909-78-1 170909-79-2 170909-80-5 170909-81-6 170909-82-7
170909-83-8 170909-84-9 170909-85-0 170909-86-1
170909-87-2 170909-88-3 170909-89-4 170909-90-7
170909-91-8 **170909-92-9** 170909-93-0 170909-94-1
170909-95-2 170909-96-3 170909-97-4 170909-98-5 170909-99-6
170910-00-6 170910-01-7 170910-02-8 170910-03-9 170910-04-0
170910-05-1 170910-06-2 170910-07-3 170910-08-4 170910-09-5
170910-10-8 170910-11-9 170910-12-0 170910-13-1 170910-14-2
170910-15-3 170910-16-4 170910-17-5 170910-18-6 170910-19-7
170910-20-0 170910-21-1 170910-22-2 170910-23-3 170910-24-4
170910-25-5 170910-26-6 170910-27-7 170910-28-8 170910-29-9
170910-30-2 170910-31-3 170910-32-4 170910-33-5 170910-34-6
170910-35-7 170910-36-8 170910-37-9 170910-38-0 170910-39-1
170910-40-4 170910-41-5 170910-42-6 170910-43-7 170910-44-8
171425-33-5

RL: RCT (Reactant)

(prepn. of 3-amino/hydroxy-4-[4-benzoylphenylcarboxylamino/oxy]azepine and homolog protein kinase inhibitors from)

IT **170909-83-8 170909-87-2 170909-92-9**

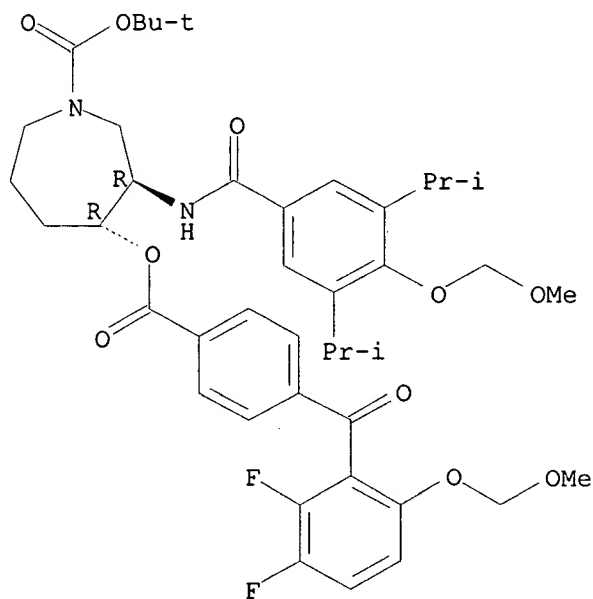
RL: RCT (Reactant)

(prepn. of 3-amino/hydroxy-4-[4-benzoylphenylcarboxylamino/oxy]azepine and homolog protein kinase inhibitors from)

RN 170909-83-8 CAPLUS

CN 1H-Azepine-1-carboxylic acid, 4-[[4-[2,3-difluoro-6-(methoxymethoxy)benzoyl]benzoyl]oxy]hexahydro-3-[[4-(methoxymethoxy)-3,5-bis(1-methylethyl)benzoyl]amino]-, 1,1-dimethylethyl ester, (3R-trans)-(9CI) (CA INDEX NAME)

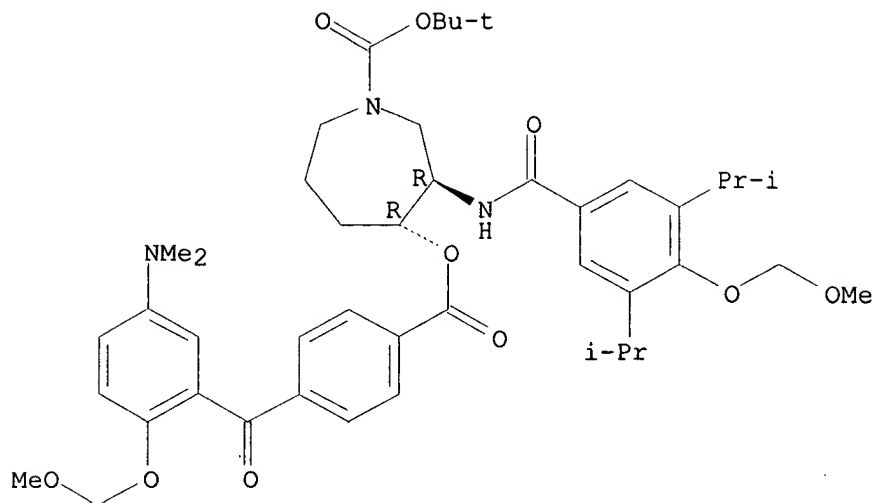
Absolute stereochemistry.



RN 170909-87-2 CAPLUS

CN 1H-Azepine-1-carboxylic acid, 4-[[4-[5-(dimethylamino)-2-(methoxymethoxy)benzoyl]benzoyl]oxy]hexahydro-3-[[4-(methoxymethoxy)-3,5-bis(1-methylethyl)benzoyl]amino]-, 1,1-dimethylethyl ester, (3R-trans)-(9CI) (CA INDEX NAME)

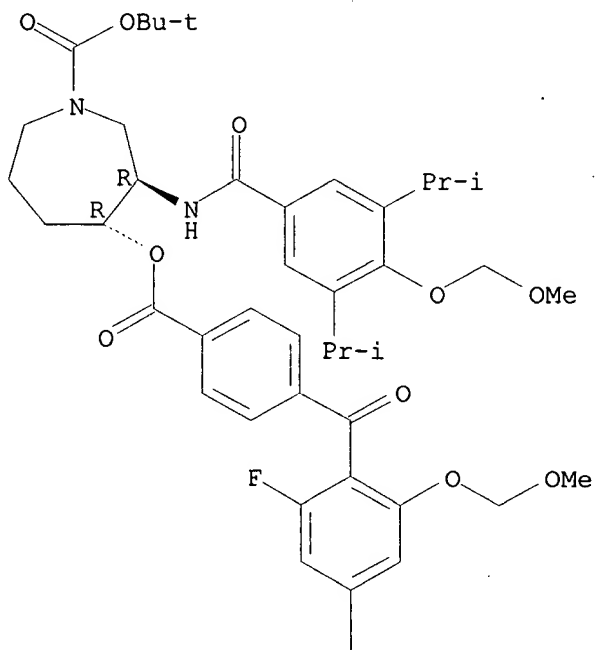
Absolute stereochemistry.



RN 170909-92-9 CAPLUS
 CN 1H-Azepine-1-carboxylic acid, 4-[[4-[2-fluoro-4-methoxy-6-(methoxymethoxy)benzoyl]benzoyl]oxy]hexahydro-3-[[4-(methoxymethoxy)-3,5-bis(1-methylethyl)benzoyl]amino]-, 1,1-dimethylethyl ester, (3R-trans)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



|
OMe

L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 1999 ACS
 ACCESSION NUMBER: 1995:818598 CAPLUS
 DOCUMENT NUMBER: 123:227990
 TITLE: Preparation of biphenyl derivatives as inhibitors of
 3-hydroxy-3-methylglutaryl (HMG)-CoA reductase
 INVENTOR(S): Kobayashi, Kaoru; Katsura, Minoru; Kawamura, Masanori
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07089898	A2	19950404	JP 93-262971	19930927

OTHER SOURCE(S): MARPAT 123:227990

AB Biphenol ethers of 4(R)-hydroxy-6(S)-hydroxymethyl-3,4,5,6-tetrahydro-2H-pyran-2-one and 3(R),5(S),5-trihydroxyhexanoic acid [I; R1 = C1-6 alkyl, C3-7 cycloalkyl; R2, R4 = H, C1-8 alkyl, C1-4 alkoxy, halo, CF3, C3-7 cycloalkyl, tri(C1-4 alkyl)silyl; R5 = C1-6 alkyl, C3-7 cycloalkyl, p-FC6H4; L = Q, Q1(wherein M = H)], which inhibit HMG-reductase and/or cholesterol biosynthesis and/or have antioxidant activity and are useful for the treatment and prevention of hyperlipidemia, atheromatous arteriosclerosis, hypercholesteremia, hyperlipoproteinemia, and ischemic heart diseases, are prepd. Thus, 4,4'-biphenol deriv. (II; R3 = Ac, L = OH) was condensed with tert-Bu (3R,5S)-6-methylsulfonyloxy-3,5-O-isopropylidene-3,5-dihydroxyhexanoate in the presence of 18-crown-6 and K2CO3 in DMSO with stirring at 80.degree. for 16 h to give II (R3 = Ac, L = Q2) which was successively treated with 2 N aq. HCl/THF at room temp. overnight and camphorsulfonic acid in toluene at 120.degree. for 18 to give a title compd. I (R3 = Ac, L = Q). The latter compd. was sapond. with 1 N aq. NaOH/EtOH at room temp. for 1h and poured into 1 N aq. HCl at 0.degree. to give I (R3 = H, L = Q) which was treated with 1 N aq. NaOH/dioxane at room temp. for 2 h to give I (R3 = H, L = Q1, M = Na) (III). III showed IC50 of 0.051 .mu.M against HMG-reductase derived from rat liver microsome, 0.032 .mu.M for inhibiting the cholesterol biosynthesis in Hep G2 cells, and 4.4 .mu.M for inhibiting the lipid peroxidn. of rat liver homogenate with FeCl2.

IC ICM C07C059-13
 ICS A61K031-19; A61K031-35; A61K031-695; C07C051-367; C07D309-30; C07F007-08

CC 27-13 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 1, 7

IT 129976-32-5P, 2-Bromo-6-isopropylphenol 131003-09-3P 168196-85-8P
 168196-86-9P 168196-87-0P 168196-88-1P 168196-89-2P 168196-90-5P
 168196-91-6P 168196-92-7P 168196-93-8P 168196-94-9P 168196-95-0P

168196-96-1P 168196-97-2P 168196-98-3P **168196-99-4P**

168197-00-0P 168197-01-1P 168197-02-2P

168197-03-3P 168197-04-4P 168197-05-5P 168197-06-6P 168197-07-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(intermediate for prepn. of biphenol derivs. as HMG-CoA reductase and cholesterol biosynthesis inhibitors and antioxidants)

IT **168196-99-4P 168197-00-0P 168197-01-1P**

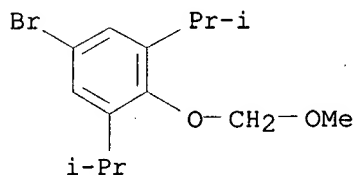
168197-02-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(intermediate for prepn. of biphenol derivs. as HMG-CoA reductase and cholesterol biosynthesis inhibitors and antioxidants)

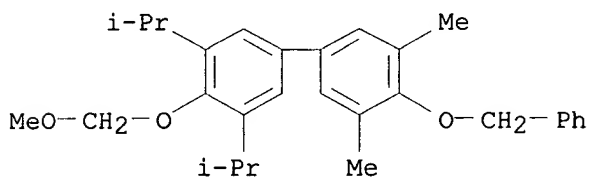
RN 168196-99-4 CAPLUS

CN Benzene, 5-bromo-2-(methoxymethoxy)-1,3-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



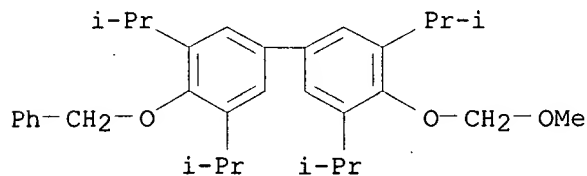
RN 168197-00-0 CAPLUS

CN 1,1'-Biphenyl, 4-(methoxymethoxy)-3',5'-dimethyl-3,5-bis(1-methylethyl)-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)



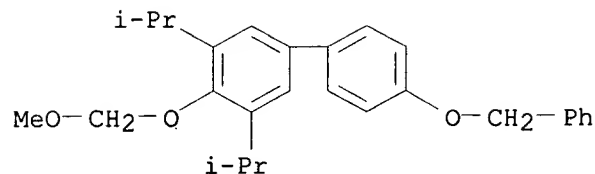
RN 168197-01-1 CAPLUS

CN 1,1'-Biphenyl, 4-(methoxymethoxy)-3,3',5,5'-tetrakis(1-methylethyl)-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 168197-02-2 CAPLUS

CN 1,1'-Biphenyl, 4-(methoxymethoxy)-3,5-bis(1-methylethyl)-4'-(phenylmethoxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 1999 ACS
 ACCESSION NUMBER: 1994:605351 CAPLUS
 DOCUMENT NUMBER: 121:205351
 TITLE: [(Hydroxyphenyl)methylene]isothiazolidine dioxide and analogs as inflammation inhibitors
 INVENTOR(S): Matsumoto, Saichi; Tsuru, Tatsuo; Inagaki, Masanao; Jyoyama, Hirokuni
 PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 47 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 595546	A1	19940504	EP 93-308369	19931020
EP 595546	B1	19960320		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

AU 9349107	A1	19940512	AU 93-49107	19931020
AU 675078	B2	19970123		
AT 135697	E	19960415	AT 93-308369	19931020
ES 2089736	T3	19961001	ES 93-308369	19931020
NO 9303870	A	19940429	NO 93-3870	19931027
JP 06211819	A2	19940802	JP 93-268663	19931027
JP 2728357	B2	19980318		
HU 70530	A2	19951030	HU 93-3053	19931027
CA 2109498	AA	19940429	CA 93-2109498	19931028
CN 1092414	A	19940921	CN 93-120706	19931028
CN 1035614	B	19970813		
US 5418230	A	19950523	US 93-142146	19931028
			JP 92-289972	19921028

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 121:205351

AB The title benzylidene derivs. I (A = methylene, ethylene; B = bond, methylene, ethylene, CHOH, CO, O, AB = CH:CH; D = N, CH; R1, R2 = H, alkyl, alkoxy; R3 = H, alkyl, cycloalkyl, etc.) were disclosed. Compds.

I are inflammation inhibitors. An example compd., (E)-5-[[4-hydroxy-3,5-bis(1,1-dimethylethyl)phenyl]methylene]isothiazolidine 1,1-dioxide (II) was prepd. II had activity as prostaglandin inhibitors (PGE₂) in rats (IC₅₀ <0.001 .mu.M).

IC ICM C07D275-02
 ICS C07D275-03; C07D333-48; C07D291-06; C07D279-02; C07D417-04; A61K031-54; A61K031-41; A61K031-44

CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 25

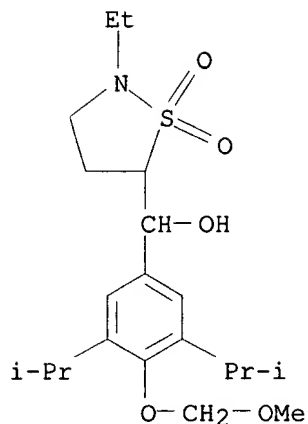
IT 71703-13-4P, Isothiazolidine, 2-(4-chlorophenyl)-, 1,1-dioxide
 73343-04-1P, Isothiazolidine, 2-ethyl-, 1,1-dioxide 76906-24-6P,
 Isothiazolidine, 2-phenyl-, 1,1-dioxide 83634-83-7P, Isothiazolidine,
 2-methyl-, 1,1-dioxide 83635-06-7P 90415-85-3P 158089-60-2P
 158089-61-3P 158089-62-4P 158089-63-5P 158089-64-6P 158089-65-7P
 158089-66-8P 158089-67-9P 158089-70-4P 158089-71-5P 158089-72-6P
 158089-73-7P 158089-74-8P 158089-75-9P 158089-76-0P 158089-77-1P
 158089-78-2P 158089-79-3P 158089-80-6P 158090-32-5P 158090-33-6P
158090-35-8P 158090-37-0P 158090-39-2P 158090-41-6P
 158090-50-7P 158090-51-8P 158090-52-9P 158090-53-0P 158090-54-1P
 158090-55-2P 158090-56-3P 158090-60-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for
 [(hydroxyphenyl)methylene]isothiazolidi
 ne dioxide inflammation inhibitor)

IT 62-53-3, Aniline, reactions 75-04-7, Ethylamine, reactions 78-81-9,
 Isobutylamine 106-47-8, 4-Chloroaniline, reactions 107-10-8,
 Propylamine, reactions 462-08-8, 3-Aminopyridine 504-24-5,
 4-Aminopyridine 504-29-0, 2-Aminopyridine 593-51-1, Methylamine
 hydrochloride 593-56-6, O-Methylhydroxylamine hydrochloride 624-76-0,
 2-Iodoethanol 765-30-0, Cyclopropylamine 1120-71-4 1633-82-5,
 3-Chloropropylsulfonyl chloride 2393-23-9, 4-Methoxybenzylamine
 2687-43-6, O-Benzylhydroxylamine hydrochloride 5292-43-3, tert-Butyl
 bromoacetate 5459-68-7, Ethanamine, 2-bromo-N,N-dimethyl- 5533-00-6,
 Benzaldehyde, 3-methoxy-4-Methoxymethoxy- 5763-61-1,
 3,4-Dimethoxybenzylamine 6515-21-5, Benzaldehyde, 4-Methoxymethoxy-
 38064-12-9 55211-66-0, Benzaldehyde, 3,5-dimethoxy-4-Methoxymethoxy-
 151166-75-5, Benzaldehyde, 3,5-bis(1,1-dimethylethyl)-4-methoxymethoxy-
 157028-15-4, 4-Methoxymethoxy-3,5-dimethylbenzaldehyde **158089-68-0**
 , 4-Methoxymethoxy-3,5-bis(1-methylethyl)benzaldehyde 158090-18-7
 158090-49-4 158090-61-0
 RL: RCT (Reactant)
 (reactant for [(hydroxyphenyl)methylene]isothiazolidine dioxide
 inflammation inhibitor)

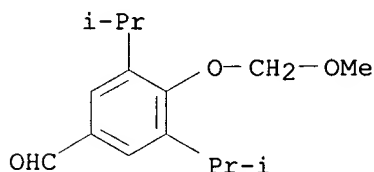
IT **158090-35-8P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for
 [(hydroxyphenyl)methylene]isothiazolidi
 ne dioxide inflammation inhibitor)

RN 158090-35-8 CAPLUS

CN 5-Isothiazolidinemethanol, 2-ethyl-.alpha.-[4-(methoxymethoxy)-3,5-bis(1-
 methylethyl)phenyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



IT 158089-68-0, 4-Methoxymethoxy-3,5-bis(1-methylethyl)benzaldehyde
 RL: RCT (Reactant)
 (reactant for [(hydroxyphenyl)methylene]isothiazolidine dioxide
 inflammation inhibitor)
 RN 158089-68-0 CAPLUS
 CN Benzaldehyde, 4-(methoxymethoxy)-3,5-bis(1-methylethyl)- (9CI) (CA INDEX
 NAME)

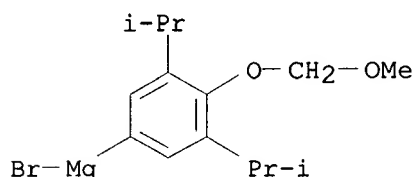


L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 1999 ACS
 ACCESSION NUMBER: 1993:649697 CAPLUS
 DOCUMENT NUMBER: 119:249697
 TITLE: Preparation of lignan analogs as hypolipidemic drugs
 INVENTOR(S): Mori, Sachio; Takechi, Shozo; Kida, Shiro; Mizui,
 Takuji; Ichihashi, Teruhisa
 PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9308155	A1	19930429	WO 92-JP1342	19921015
W: KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
JP 05310634	A2	19931122	JP 92-277151	19921015
JP 2839805	B2	19981216		

EP 597107 A1 19940518 EP 92-921331 19921015
 EP 597107 B1 19960703
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE
 EP 701991 A1 19960320 EP 95-117572 19921015
 EP 701991 B1 19990120
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE
 AT 139990 E 19960715 AT 92-921331 19921015
 ES 2091488 T3 19961101 ES 92-921331 19921015
 AT 175954 E 19990215 AT 95-117572 19921015
 US 5420333 A 19950530 US 93-78205 19930617
 US 5449814 A 19950912 US 94-301996 19940907
 US 5731455 A 19980324 US 95-423346 19950418
 US 5502216 A 19960326 US 95-445506 19950522
 PRIORITY APPLN. INFO.: JP 91-298119 19911017
 EP 92-921331 19921015
 WO 92-JP1342 19921015
 US 93-78205 19930617
 US 94-301996 19940907
 OTHER SOURCE(S): CASREACT 119:249697; MARPAT 119:249697
 AB The title compds. [I; R1 = (un)substituted lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, aryl, or aralkyl; R2 = lower (halo)alkyl, CO2R'; wherein R' = (un)substituted alkyl or aralkyl; or R1R2 completes a cyclohexanone Q; R3 = (un)substituted Ph; ring A = benzene or (un)substituted S- or O-contg. heterocyclic ring], which has a potent activity of selectively reducing the serum level of very-low-d. lipoprotein (VLDL) and low-d. lipoprotein (LDL) cholesterol and an excellent antioxidant activity on LDL cholesterol, are prepd. by addn. reaction of (hetero)aryl compds. (II; R3, ring A = same as above) with R1OC.tplbond.CR2 (R1, R2 = same as above) or reaction of lactones (III; R2 = CO2R'; R', R2, R3 = same as above) with R1M (M = Li, MgX; X = halo; R1 = same as above). Thus, 2.23 g Et2CHCH2COC.tplbond.CCO2Me (prepn. given), 4.63 g 2-(3,4-dimethoxy-.alpha.-hydroxybenzyl)-3,4,5-trimethoxybenzaldehyde ethylenedioxy acetal (prepn. given), 13 mg p-MeC6H4SO3H, and 100 mL benzene were refluxed for 1 h to give 29.8% a title compd. (IV). IV in vitro showed IC50 of 0.40 .mu.M for inhibiting the oxidn. of rabbit serum LDL and in vivo lowered a total serum cholesterol by 35% and a total serum VDL and LDL cholesterol by 72% in mice fed with a diet contg. IV 0.12, cholesterol 1, and 0.5% Na cholate for 7 days. A total of 80 I were prepd. and similarly tested.
 IC ICM C07C069-94
 ICS C07D317-50; C07D333-54; A61K031-21; A61K031-335; A61K031-38
 CC 25-18 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 28
 IT 74-88-4, Methyl iodide, reactions 75-03-6, Ethyl iodide 75-16-1, Methylmagnesium bromide 86-81-7, 3,4,5-Trimethoxybenzaldehyde 96-22-0,
 3-Pentanone 96-33-3 97-96-1, (2-Ethyl)butyraldehyde 100-58-3, Phenylmagnesium bromide 107-21-1, 1,2-Ethanediol, reactions 107-30-2, Chloromethyl methyl ether 108-22-5, Isopropenyl acetate 110-87-2, Dihydropyran 118-41-2, 3,4,5-Trimethoxybenzoic acid, reactions 120-14-9, 3,4-Dimethoxybenzaldehyde 124-68-5, 2-Amino-2-methyl-1-propanol 329-15-7, 4-(Trifluoromethyl)benzoyl chloride 352-13-6, 4-Fluorophenylmagnesium bromide 354-64-3, Pentafluoroiodoethane 402-51-7, 4-(Trifluoromethyl)phenylmagnesium bromide 762-42-5, Dimethyl acetylenedicarboxylate 867-13-0 873-77-8, 4-Chlorophenylmagnesium

bromide 920-39-8, Isopropylmagnesium bromide 922-67-8, Methyl propiolate 925-90-6, Ethylmagnesium bromide 931-50-0, Cyclohexylmagnesium bromide 932-31-0, 2-Methylphenylmagnesium bromide 1589-82-8, Benzylmagnesium bromide 1620-98-0 2689-68-1, Methyl tetrahydro-4-oxothiophene-3-carboxylate 4294-57-9, 4-Methylphenylmagnesium bromide 4521-61-3, 3,4,5-Trimethoxybenzoyl chloride 4852-26-0, 1-Ethylpropylmagnesium bromide 5470-11-1, Hydroxylamine hydrochloride 13139-86-1, 4-Methoxyphenylmagnesium bromide 15930-53-7, 2-Bromo-4,5-methylenedioxybenzaldehyde 16750-63-3 21473-01-8, 2-Naphthylmagnesium bromide 28987-79-3, 3-Methylphenylmagnesium bromide 31179-52-9, 4-Methoxyphenylmethylmagnesium bromide 35166-78-0, Cyclohexylmethylmagnesium bromide 35274-53-4, 2-Bromo-3,4,5-trimethoxybenzaldehyde 36282-40-3 57031-37-5 58479-61-1, tert-Butylchlorodiphenylsilane 63488-10-8 65416-24-2, Benzyl crotonate 68506-84-3 72023-44-0, 2,3,4,5-Tetramethoxybenzoic acid 73229-39-7, 3-Cyano-4-methylthiophene 86608-70-0, [2-(1,3-Dioxolan-2-yl)ethyl]triphenylphosphonium bromide 87942-08-3 89980-69-8, 3,4-Dimethoxyphenylmagnesium bromide 104756-72-1 123716-10-9 144025-04-7, 2,4-Difluorophenylmagnesium bromide 151167-63-4, 3,5-Diisopropyl-4-(methoxymethoxy)phenylmagnesium bromide 151195-98-1, Benzyl 4,4,4-trifluorocrotonate
 RL: RCT (Reactant)
 (reaction of, in prepn. of hypolipidemic lignan analog)
 IT 151167-63-4, 3,5-Diisopropyl-4-(methoxymethoxy)phenylmagnesium bromide
 RL: RCT (Reactant)
 (reaction of, in prepn. of hypolipidemic lignan analog)
 RN 151167-63-4 CAPLUS
 CN Magnesium, bromo[4-(methoxymethoxy)-3,5-bis(1-methylethyl)phenyl]- (9CI)
 (CA INDEX NAME)



L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1991:632091 CAPLUS

DOCUMENT NUMBER: 115:232091

TITLE: Preparation of N-pentadienoylaminoalkyl-4-(3-indolyl)piperidines and analogs as antiallergic

agents

INVENTOR(S): Matsuo, Masaaki; Manabe, Takashi; Shigenaga, Shinji; Matsuda, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 16 pp. Cont.-in-part of U.S. 4,935,432.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5017703	A	19910521	US 89-414022	19890928
ZA 8900099	A	19891025	ZA 89-99	19890105
US 4935432	A	19900619	US 89-295569	19890111
HU 206703	B	19921228	HU 90-5861	19890113
SU 1804460	A3	19930323	SU 89-4613373	19890113
SU 1814645	A3	19930507	SU 89-4742459	19891127
RU 2039056	C1	19950709	RU 91-5010121	19911128
PRIORITY APPLN. INFO.:			GB 88-795	19880114
			GB 88-18260	19880801
			US 89-295569	19890111
			HU 89-132	19890113

OTHER SOURCE(S): MARPAT 115:232091

AB The title compds. [I; A = alkylene; B = alkenylene; R1 = (protected) hydroxy-, halo-, or alkoxy-substituted aryl] were prepd. Thus, 3,5,4-Me₂(MeOCH₂CH₂OCH₂O)C₆H₂CHO was condensed with (EtO)₂P(O)CH₂CH:CHCO₂Et to give, after sapon., (E,E)-3,5,4-R₂(MeOCH₂CH₂OCH₂O)C₆H₂CH:CHCH:CHCO₂H (II; R = Me). II (R = MeO) was condensed with 1-(2-aminoethyl)-4-(3-indolyl)piperidine (prepn. given) to give, after hydrolysis, title compd. (E,E)-III which had ED₅₀ of 0.5

mg/kg orally for prophylaxis of anaphylactic asthma in guinea pigs and IC₅₀ of 0.68 .mu.g/mL against release of SRS-A from peritoneal exudate cells in vitro.

IC ICM C07D401-04

NCL 546201000

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

IT 57311-64-5P 57311-65-6P 57311-67-8P 57311-68-9P 101619-49-2P
 124955-97-1P 124955-98-2P 124955-99-3P **124956-00-9P**
 124956-01-0P 124956-02-1P 124956-03-2P 124956-04-3P 124956-05-4P
124956-06-5P 124956-07-6P 124956-08-7P 124956-09-8P
 124956-10-1P 124956-11-2P **124956-12-3P** 124956-13-4P
 124956-14-5P 124956-15-6P 124956-16-7P 124956-17-8P 124998-74-9P
 136947-97-2P 136947-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of antiallergic agents)

IT 124956-19-0P 124956-20-3P 124956-21-4P 124956-22-5P 124956-23-6P
 124956-24-7P 124956-25-8P 124956-26-9P 124956-27-0P 124956-28-1P
 124956-29-2P 124956-30-5P 124956-31-6P 124956-32-7P
124956-33-8P 124956-34-9P 124956-35-0P 124956-36-1P
 124956-37-2P 124956-38-3P 124956-39-4P 124956-40-7P 124956-41-8P
 124956-42-9P 124956-43-0P 124956-44-1P 124956-45-2P 124956-46-3P
 124956-47-4P 124956-48-5P 124956-49-6P 124956-51-0P 124956-52-1P
 124956-53-2P 124956-54-3P 124956-55-4P 124956-56-5P 124956-57-6P
 124956-59-8P 124956-60-1P 124998-75-0P 136947-99-4P 136948-00-0P
 136948-01-1P 136948-02-2P 136948-03-3P 136948-04-4P 136948-05-5P
 136975-22-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as antiallergic agent)

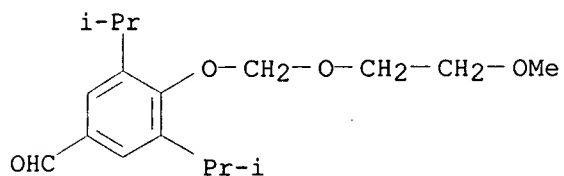
IT **124956-00-9P 124956-06-5P 124956-12-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and reaction of, in prepn. of antiallergic agents)

RN 124956-00-9 CAPLUS

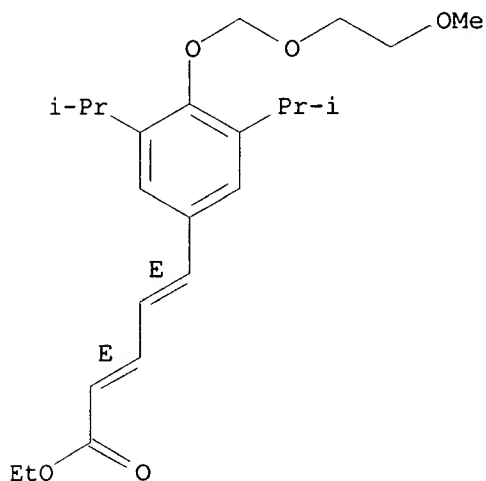
CN Benzaldehyde, 4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)- (9CI)
(CA INDEX NAME)



RN 124956-06-5 CAPLUS

CN 2,4-Pentadienoic acid, 5-[4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)phenyl]-, ethyl ester, (E,E)- (9CI) (CA INDEX NAME)

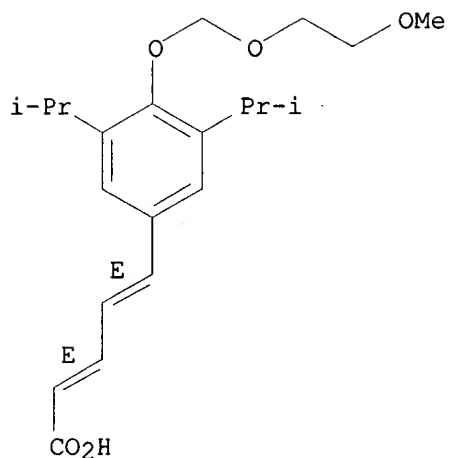
Double bond geometry as shown.



RN 124956-12-3 CAPLUS

CN 2,4-Pentadienoic acid, 5-[4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)phenyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 124956-33-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiallergic agent)

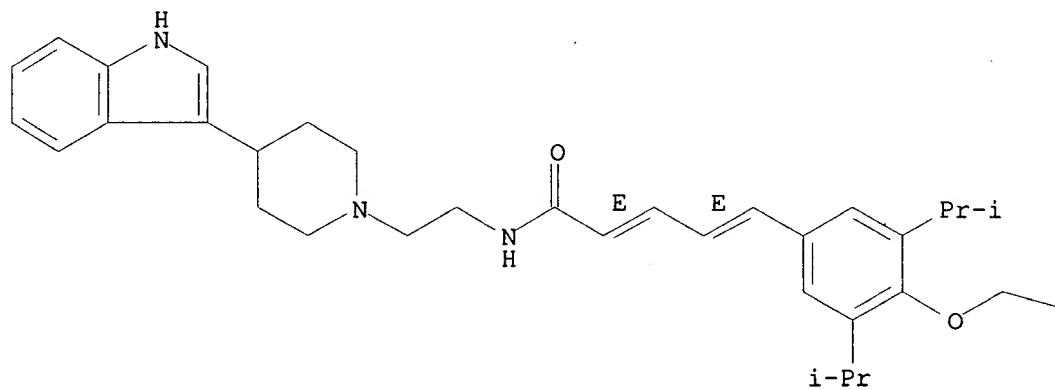
RN 124956-33-8 CAPLUS

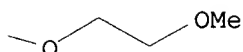
CN 2,4-Pentadienamide,

N-[2-[4-(1H-indol-3-yl)-1-piperidinyl]ethyl]-5-[4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)phenyl]-, (E,E)- (9CI) (CA INDEX NAME)

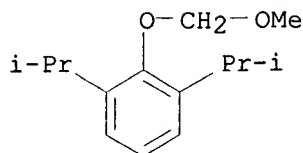
Double bond geometry as shown.

PAGE 1-A





L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 1999 ACS
 ACCESSION NUMBER: 1990:197458 CAPLUS
 DOCUMENT NUMBER: 112:197458
 TITLE: Carbon-13 NMR chemical shifts of the carbon atoms of
 the methoxymethyl group of di-ortho-substituted
 aromatic methoxymethyl ethers
 AUTHOR(S): Kaufman, Teodoro S.; Sindelar, Robert D.; Juergens,
 Alex R.
 CORPORATE SOURCE: Sch. Pharm., Univ. Mississippi, University, MS,
 38677,
 USA
 SOURCE: Magn. Reson. Chem. (1989), 27(12), 1178-81
 CODEN: MRCHEG; ISSN: 0749-1581.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Complete 13C spectral assignments of 28 arom. methoxymethyl ethers
 bearing
 different substituents and substitution patterns were made. While meta-,
 para-, or mono-ortho-substitution did not significantly affect the 13C
 resonances of the carbon atoms of the methoxymethyl group,
 di-ortho-substitution produced the deshielding of both carbons. This
 effect was more pronounced on the methylene carbon atom.
 CC 22-10 (Physical Organic Chemistry)
 IT 824-91-9 25458-46-2 27701-22-0 35151-34-9 55359-65-4 55359-67-6
 57234-28-3 57234-29-4 76280-60-9 87905-74-6 104202-36-0
 115377-97-4 126809-65-2 126809-66-3 126809-67-4 126809-68-5
 126809-69-6 126809-70-9 126809-71-0 126809-72-1 **126809-73-2**
 126809-74-3 126809-75-4 126809-76-5 126809-77-6 126809-78-7
 126809-79-8 126809-80-1
 RL: PRP (Properties)
 (NMR of, carbon-13)
 IT **126809-73-2**
 RL: PRP (Properties)
 (NMR of, carbon-13)
 RN 126809-73-2 CAPLUS
 CN Benzene, 2-(methoxymethoxy)-1,3-bis(1-methylethyl)- (9CI) (CA INDEX
 NAME)



L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1990:76955 CAPLUS

DOCUMENT NUMBER: 112:76955

TITLE: Preparation of new indolylpiperidine compounds as pharmaceuticals

INVENTOR(S): Matsuo, Masaaki; Manabe, Takashi; Shigenaga, Shinji; Matsuda, Hiroshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 324431	A1	19890719	EP 89-100332	19890110
EP 324431	B1	19920325		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8807337	A	19890715	DK 88-7337	19881230
ZA 8900099	A	19891025	ZA 89-99	19890105
IL 88903	A1	19930315	IL 89-88903	19890106
AT 74131	E	19920415	AT 89-100332	19890110
ES 2032339	T3	19930201	ES 89-100332	19890110
FI 8900123	A	19890715	FI 89-123	19890111
FI 91863	B	19940513		
FI 91863	C	19940825		
AU 8928370	A1	19890720	AU 89-28370	19890111
AU 620583	B2	19920220		
NO 8900155	A	19890717	NO 89-155	19890113
NO 172539	B	19930426		
NO 172539	C	19930804		
CN 1035112	A	19890830	CN 89-100182	19890113
CN 1021733	B	19930804		
JP 01221377	A2	19890904	JP 89-7272	19890113
JP 07059577	B4	19950628		
HU 49871	A2	19891128	HU 89-132	19890113
HU 202224	B	19910228		
HU 206703	B	19921228	HU 90-5861	19890113
SU 1804460	A3	19930323	SU 89-4613373	19890113
CA 1336605	A1	19950808	CA 89-588224	19890113
SU 1814645	A3	19930507	SU 89-4742459	19891127
RU 2039056	C1	19950709	RU 91-5010121	19911128
PRIORITY APPLN. INFO.:			GB 88-795	19880114
			GB 88-18260	19880801
			EP 89-100332	19890110

HU 89-132

19890113

OTHER SOURCE(S): MARPAT 112:76955

AB Indolylpiperidine derivs. [I; R1 = (protected) HO-, halo-, and alkoxy-substituted aryl; A, B = alkylene], effective antiallergic agents, are prepd. (PhO)2P(O)Cl was added to a stirred mixt. of 1.75 g (E)-II

and

soln. Et3N in DMF at -10 to -15.degree. under an inert atm., followed by a

of 1.5 g III in DMF, and the mixt. stirred at room temp. to give 2.8 g (E)-IV. I showed antagonistic action on anaphylactic asthma at ED50 of 0.5 mg/kg p.o. in guinea pigs and slow-reacting substance of anaphylaxis at IC50 of 0.23-0.91 .mu.g/mL in isolated guinea pig ileum. An addnl. 65 I and 29 precursors were also prepd.

IC ICM C07D401-04

ICS A61K031-445

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1

IT 57311-65-6P 57311-68-9P 78765-31-8P 101619-46-9P 101619-49-2P
 124955-97-1P 124955-98-2P 124955-99-3P 124956-01-0P 124956-02-1P
 124956-03-2P 124956-04-3P 124956-05-4P **124956-06-5P**
 124956-07-6P 124956-08-7P 124956-09-8P 124956-10-1P 124956-11-2P
124956-12-3P 124956-13-4P 124956-14-5P 124956-15-6P
 124956-16-7P 124956-17-8P 124998-74-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of antiallergic agents)

IT **124956-00-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

IT 124956-18-9P 124956-19-0P 124956-20-3P 124956-21-4P 124956-22-5P
 124956-23-6P 124956-24-7P 124956-25-8P 124956-26-9P 124956-27-0P
 124956-28-1P 124956-29-2P 124956-30-5P 124956-31-6P 124956-32-7P
124956-33-8P 124956-34-9P 124956-35-0P 124956-36-1P
 124956-37-2P 124956-38-3P 124956-39-4P 124956-40-7P 124956-41-8P
 124956-42-9P 124956-43-0P 124956-44-1P 124956-45-2P 124956-46-3P
 124956-47-4P 124956-48-5P 124956-49-6P 124956-50-9P 124956-51-0P
 124956-52-1P 124956-53-2P 124956-54-3P 124956-55-4P 124956-56-5P
 124956-57-6P 124956-59-8P 124956-60-1P 124998-75-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as antiallergic agent)

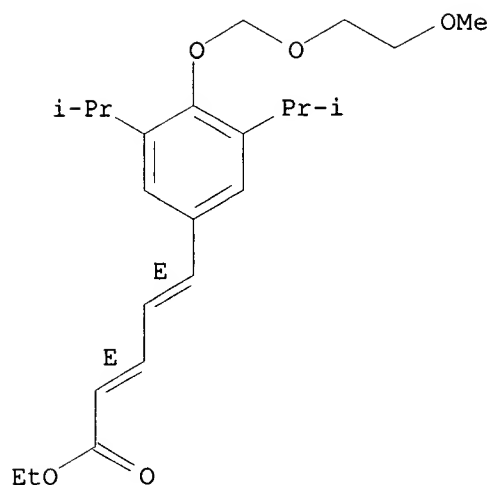
IT **124956-06-5P 124956-12-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of antiallergic agents)

RN 124956-06-5 CAPLUS

CN 2,4-Pentadienoic acid, 5-[4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)phenyl]-, ethyl ester, (E,E)- (9CI) (CA INDEX NAME)

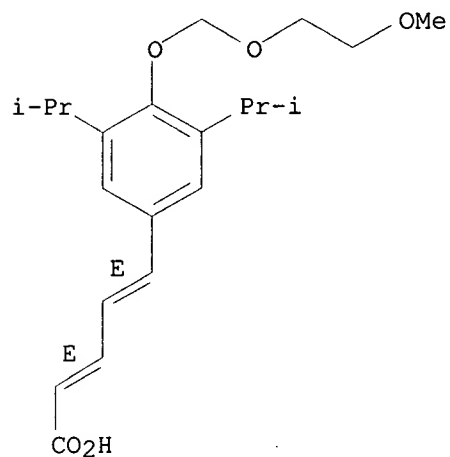
Double bond geometry as shown.



RN 124956-12-3 CAPLUS

CN 2,4-Pentadienoic acid, 5-[4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)phenyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

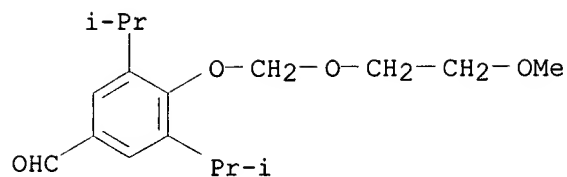


IT 124956-00-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 124956-00-9 CAPLUS

CN Benzaldehyde, 4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)- (9CI)
(CA INDEX NAME)



IT 124956-33-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiallergic agent)

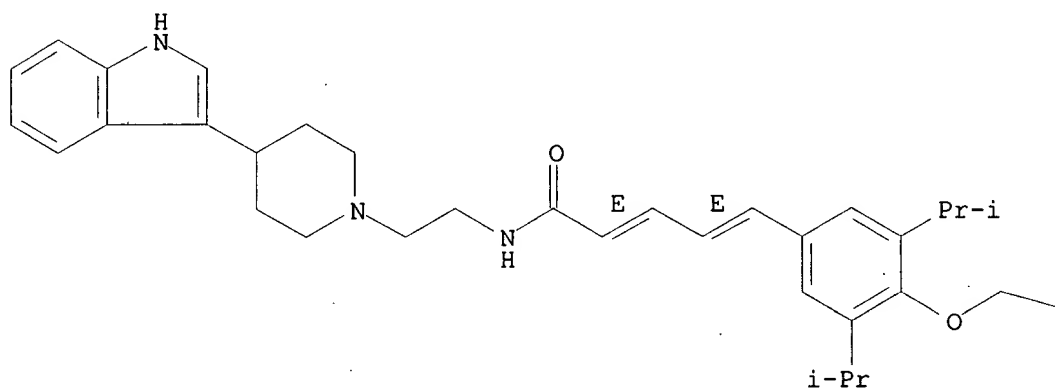
RN 124956-33-8 CAPLUS

CN 2,4-Pentadienamide,

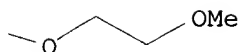
N-[2-[4-(1H-indol-3-yl)-1-piperidinylethyl]-5-[4-[(2-methoxyethoxy)methoxy]-3,5-bis(1-methylethyl)phenyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

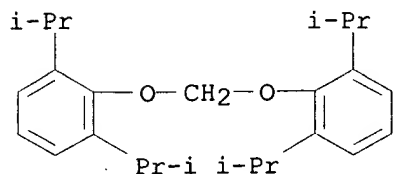


PAGE 1-B

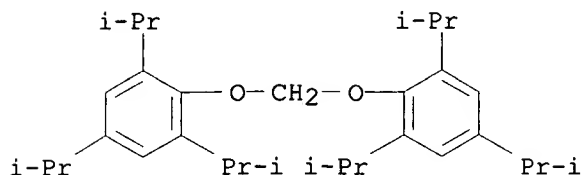


L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 1999 ACS
 ACCESSION NUMBER: 1982:584365 CAPLUS
 DOCUMENT NUMBER: 97:184365
 TITLE: Bis(alkylphenoxy)methanes and their use as insulating oils
 INVENTOR(S): Marty, Claude; Engelhard, Philippe
 PATENT ASSIGNEE(S): Compagnie Francaise de Raffinage S. A., Fr.
 SOURCE: Eur. Pat. Appl., 14 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 54488	A1	19820623	EP 81-401981	19811211
EP 54488	B1	19840215		
R: CH, DE, GB, SE				
FR 2496326	A1	19820618	FR 80-26309	19801211
FR 2496326	B1	19840217		
PRIORITY APPLN. INFO.:			FR 80-26309	19801211
AB Compds. I (R, R1, and R2 = H or C3-10-alkyl) are prep'd. for use as insulating foils in elec. app. Thus, 1400 g CH2Cl2 contg. 216 g Bu4NBr was added slowly to 1 kg 4-sec-BuPhOH to prep. bis(4-sec-butylphenoxy)methane [83420-67-1] (97% yield) having relative permittivity 2.8 and dielec. strength 72.5 kV.				
IC C07C043-30; H01B003-36				
ICA C07C041-52				
CC 45-5 (Industrial Organic Chemicals, Leather, Fats, and Waxes) Section cross-reference(s): 25, 76				
IT 75-09-2DP, reaction products with alkyl phenols 99-71-8DP, reaction products with alkyl phenols and methylene chloride 1879-09-0DP, reaction products with alkyl phenols and methylene chloride 2078-54-8DP, reaction products with alkyl phenols and methylene chloride 83420-66-0P 83420-67-1P 83420-68-2P 83420-69-3P 83420-70-6P 83420-71-7P 83420-72-8P 83420-73-9P 83420-74-0P RL: PREP (Preparation) (prepn. and elec. insulating properties of)				
IT 83420-68-2P 83420-74-0P RL: PREP (Preparation) (prepn. and elec. insulating properties of)				
RN 83420-68-2 CAPLUS				
CN Benzene, 1,1'-[methylenebis(oxy)]bis[2,6-bis(1-methylethyl)- (9CI) (CA INDEX NAME)				



RN 83420-74-0 CAPLUS
 CN Benzene, 1,1'-[methylenebis(oxy)]bis[2,4,6-tris(1-methylethyl)- (9CI)
 (CA INDEX NAME)



=> d .ca 112 1-13

L12 ANSWER 1 OF 13 CAPLUS COPYRIGHT 1999 ACS
 ACCESSION NUMBER: 1998:776621 CAPLUS
 DOCUMENT NUMBER: 130:43300
 TITLE: Substantially pure zonulin, a physiological modulator
 of mammalian tight junctions for drug delivery
 INVENTOR(S): Fasano, Alessio
 PATENT ASSIGNEE(S): University of Maryland, Baltimore, USA
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852415	A1	19981126	WO 98-US7636	19980428
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9872491	A1	19981211	AU 98-72491	19980428
PRIORITY APPLN. INFO.:			US 97-859931	19970521
			WO 98-US7636	19980428
AB A substantially pure mammalian protein, hereinafter "zonulin," that is a physiol. modulator of mammalian tight junctions is disclosed, as well as methods for the use of the same for drug delivery.				
IC ICM A01N037-18 ICS A61K038-00; A61K038-28; C07K001-00; C07K014-00; C07K017-00				
CC 63-5 (Pharmaceuticals) Section cross-reference(s): 1, 2, 15				
IT Antibiotics Antitumor agents Blood-brain barrier				

Cardiovascular agents
 Drug delivery systems
 Genetic vectors
 Intravenous injections
 Molecular cloning
 Nasal drug delivery systems
 Nervous system agents
Oral drug delivery systems
 Protein sequences
 Purification
 Tight junction
 Vaccines

(substantially pure zonulin, a physiol. modulator of mammalian tight junctions for drug delivery)

IT 50-60-2, Phentolamine 51-41-2, Norepinephrine 51-43-4, Epinephrine 51-61-6, Dopamine, biological studies 57-22-7, Vincristine 58-22-0, Testosterone 58-61-7, Adenosine, biological studies 61-32-5, Methicillin 62-90-8, Nandrolin 137-58-6, Lidocaine 147-94-4, Cytarabine 306-40-1, Succinylcholine 309-29-5, Doxapram 465-65-6, Naloxone 865-21-4, Vinblastine 1404-00-8, Mitomycin 2078-54-8, Propofol 9004-10-8, Insulin, biological studies 20594-83-6, Nalbuphine 23214-92-8, Doxorubicin 34368-04-2, Dobutamine 35607-66-0, Cefoxitin 51481-65-3, Mezlocillin 52485-79-7, Buprenorphine 53648-55-8, Dezocine 56796-20-4, Cefmetazole 59467-70-8, Midazolam 61270-58-4, Cefonicid 61477-96-1, Piperacillin 61489-71-2, Menotropin 71195-58-9, Alfentanil 74103-06-3, Ketorolac 78110-38-0, Aztreonam 97048-13-0, Urofollitropin 133814-19-4, Mivacurium

RL: BPR (Biological process); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(substantially pure zonulin, a physiol. modulator of mammalian tight junctions for drug delivery)

L12 ANSWER 2 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:240404 CAPLUS

DOCUMENT NUMBER: 126:229634

TITLE: **Parenteral** pharmaceutical emulsions containing propofol

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: Belg., 33 pp.
 CODEN: BEXXAL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	BE 1009198	A5	19961203	BE 95-241	19950317
AB	A parenteral pharmaceutical emulsion contain propofol (I), a water-immiscible solvent, and a surfactant. A pharmaceutical emulsion contained I 1, soya oil 10.0, egg phosphatide 1.2, glycerol 2.25, Na2EDTA.2H2O 0.0055, sodium hydroxide q.s., and water q.s. 100%.				
IC	ICM A61K031-05				
	ICS A61K009-107; A61K047-18				
CC	63-6 (Pharmaceuticals)				

ST **parenteral** pharmaceutical emulsion propofol solvent surfactant
 IT Glycerides, biological studies
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (C8-10; **parenteral** pharmaceutical emulsions contg. propofol)
 IT **Parenteral** solutions (drug delivery systems)
 (emulsions; **parenteral** pharmaceutical emulsions contg.
 propofol)
 IT Candida albicans
 Escherichia coli
 Pseudomonas aeruginosa
 Staphylococcus aureus
 (growth inhibition of; **parenteral** pharmaceutical emulsions
 contg. propofol)
 IT Anesthetics
 Antibacterial agents
 Antiemetics
 Barbiturates (pharmaceutical)
 Egg yolk lecithins
 Fatty acid esters
 Fungicides
 Solvents
 Soybean oil
 Steroids, biological studies
 Stimulants (nervous system)
 Vegetable oils
 Vitamins
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (**parenteral** pharmaceutical emulsions contg. propofol)
 IT Emulsions (drug delivery systems)
 (**parenterals**; **parenteral** pharmaceutical emulsions
 contg. propofol)
 IT 1310-73-2, Sodium hydroxide, uses
 RL: NUU (Nonbiological use, unclassified); USES (Uses)
 (**parenteral** pharmaceutical emulsions contg. propofol)
 IT 56-81-5, 1,2,3-Propanetriol, biological studies 60-00-4, Edta,
 biological studies 139-33-3, Disodium edetate 2078-54-8,
 Propofol 6381-92-6
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (**parenteral** pharmaceutical emulsions contg. propofol)

L12 ANSWER 3 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:69840 CAPLUS

DOCUMENT NUMBER: 126:94790

TITLE: Oral dosage composition for intestinal
 delivery and method of use

INVENTOR(S): Fasano, Alessio

PATENT ASSIGNEE(S): University of Maryland At Baltimore, USA

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9637196	A1	19961128	WO 96-US6870	19960516

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML

US 5827534	A	19981027	US 95-443864	19950524
US 5665389	A	19970909	US 96-598852	19960209
AU 9657929	A1	19961211	AU 96-57929	19960516
AU 702385	B2	19990218		
EP 828481	A1	19980318	EP 96-914626	19960516

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

PRIORITY APPLN. INFO.: US 95-443864 19950524
US 96-598852 19960209
WO 96-US6870 19960516

AB An oral dosage compn. for intestinal delivery comprising: (A) a biol. active ingredient; and (B) zonula occludens toxin, as well as a method for the use of the same.

IC ICM A61K009-20

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1, 2, 15

IT Intestine
(absorption by, enhancement of; oral dosage compn. for intestinal delivery and method of use)

IT Absorption
Antibiotics
Antitumor agents
Cardiovascular agents
Colon
Ileum
Jejunum
Nervous system agents
Oral drug delivery systems
Transport (biological)
Vaccines
(oral dosage compn. for intestinal delivery and method of use)

IT Albumins, biological studies
Globulins, biological studies
Hormones (animal), biological studies
IgA
IgG
IgM
Immunoglobulins
Interferon .alpha.
Interferon .beta.
Interferon .gamma.
Interleukin 1
Interleukin 2
Interleukin 4
Interleukin 8
Lymphokines
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(oral dosage compn. for intestinal delivery and method of

- use)
- IT Tight junction
(toxin; **oral** dosage compn. for intestinal delivery and method of use)
- IT Actins
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(zonula occludens toxin effect on; **oral** dosage compn. for intestinal delivery and method of use)
- IT Vibrio cholerae
(zonula occludens toxin of; **oral** dosage compn. for intestinal delivery and method of use)
- IT Toxins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(zonula occludens; **oral** dosage compn. for intestinal delivery and method of use)
- IT Genes (microbial)
RL: BOC (Biological occurrence); BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(zot; **oral** dosage compn. for intestinal delivery and method of use)
- IT 114215-99-5 157877-99-1
RL: BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)
(**oral** dosage compn. for intestinal delivery and method of use)
- IT 50-60-2, Phentolamine 51-41-2, Norepinephrine 51-43-4, Epinephrine 51-61-6, Dopamine, biological studies 57-22-7, Vincristine 58-22-0, Testosterone 58-61-7, Adenosine, biological studies 61-32-5, Methicillin 137-58-6, Lidocaine 147-94-4, Cytarabine 306-40-1, Succinylcholine 309-29-5, Doxapram 465-65-6, Naloxone 865-21-4, Vinblastine 1404-00-8, Mitomycin 2078-54-8, Propofol 5152-30-7, Metocurine 7261-97-4 9004-10-8, Insulin, biological studies 20594-83-6, Nalbuphine 23214-92-8 34368-04-2, Dobutamine 35607-66-0, Cefoxitin 51481-65-3, Mezlocillin 52485-79-7, Buprenorphine 53648-55-8, Dezocine 56796-20-4, Cefmetazole 59467-70-8, Midazolam 61270-58-4, Cefonicid 61477-96-1, Piperacillin 61489-71-2, Menotropin 71195-58-9, Alfentanil 74103-06-3, Ketorolac 78110-38-0, Aztreonam 97048-13-0, Urofollitropin 133814-19-4, Mivacurium
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(**oral** dosage compn. for intestinal delivery and method of use)
- IT 141436-78-4, Protein kinase c
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(zonula occludens toxin effect on; **oral** dosage compn. for intestinal delivery and method of use)

L12 ANSWER 4 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1997:55784 CAPLUS

DOCUMENT NUMBER: 126:79918

TITLE: Oil-in-water pharmaceutical composition containing EDTA and propofol

INVENTOR(S): Jones, Christopher Buchan; Platt, John Henry

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: Brit. UK Pat. Appl., 30 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2298789	A1	19960918	GB 95-5405	19950317
CA 2212794	AA	19960926	CA 95-2212794	19950317
US 5714520	A	19980203	US 95-408707	19950322
US 5731355	A	19980324	US 97-801589	19970218
US 5731356	A	19980324	US 97-802447	19970218
PRIORITY APPLN. INFO.:			GB 94-5593	19940322
			US 95-408707	19950322

AB A compn. for parenteral administration of pharmaceutical compds., preferably the anesthetic propofol (I), wherein the drug is dissolved in a water-immiscible solvent, such as vegetable oil or soy bean oil, and emulsified in a surfactant, preferably a phosphatide. The antimicrobial agent edetate, preferably disodium edetate, is added to the prepn. so as to maintain sterility for at least twenty four hours following exposure to a bacterial source. A parenteral emulsion contained I 1, soy bean oil 5.0, Miglyol 812N 5.0, egg phosphatide 1.2, glycerol 2.25, disodium edetate dihydrate 0.0055, sodium hydroxide qs.s. and water q.s. 100%. Sterility of various formulations was tested.

IC ICM A61K009-107

ICS A61K009-08; A61K031-05

CC 63-6 (Pharmaceuticals)

IT **Parenteral** solutions (drug delivery systems)
 (emulsions; oil-in-water pharmaceutical compn. contg. EDTA and propofol)

IT **Parenteral** solutions (drug delivery systems)
 (oil-in-water pharmaceutical compn. contg. EDTA and propofol)

IT Emulsions (drug delivery systems)
 (**parenterals**; oil-in-water pharmaceutical compn. contg. EDTA and propofol)

IT 56-81-5, 1,2,3-Propanetriol, biological studies 2078-54-8,
 Propofol 6381-92-6, Disodium EDTA dihydrate
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (oil-in-water pharmaceutical compn. contg. EDTA and propofol)

L12 ANSWER 5 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1996:467356 CAPLUS

DOCUMENT NUMBER: 125:123747

TITLE: Method for treating a **parenteral**
 emulsion-containing medicament fluid

INVENTOR(S): Bormann, Thomas J.; Gsell, Thomas C.; Matkovich,
 Vlado

I.; Del Giacco, Gerard R.

PATENT ASSIGNEE(S): Pall Corp., USA

SOURCE: U.S., 17 pp. Cont.-in-part of U.S. 5, 252, 222.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5536413	A	19960716	US 92-875774	19920429
US 5252222	A	19931012	US 90-620775	19901203
CA 2054933	AA	19920604	CA 91-2054933	19911105
WO 9322029	A1	19931111	WO 93-US4021	19930428
W: CA, GB, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 637986	A1	19950215	EP 93-910894	19930428
R: DE, FR, GB, IT				
GB 2280860	A1	19950215	GB 94-20642	19930428
GB 2280860	B2	19960508		
JP 07506371	T2	19950713	JP 93-519506	19930428
PRIORITY APPLN. INFO.:			US 90-620775	19901203
			US 92-875774	19920429
			WO 93-US4021	19930428

AB The present invention provides a method for treating parenteral emulsion-contg. medicament fluid comprising passing the fluid to a filtration element, blocking microorganisms and other undesirable material, and passing the fluid therethrough. The invention also provides a system for removal of gas from the fluid. For example, a filter assembly included a housing, a fluid filtration element in the form of a flat microporous Ultipor N66 membrane having a microorganism blocking pore rating of 0.45 .mu.m and a crit. wetting surface tension (CWST) of .apprx.74 dynes/cm, along with 2 gas-venting elements which were flat PTFE membranes, each having a 0.2 .mu.m pore size and a CWST of 23 dynes/cm, was used for decontamination of an oil-in-water emulsion contg. propofol.

IC ICM B01D039-00
ICS B01D061-00

NCL 210650000

CC 63-6 (Pharmaceuticals)

IT Acinetobacter lwoffii
Anesthetics
Candida albicans
Moraxella
Sterilization and Disinfection
(filter system for removal of pathogenic microorganisms from anesthetic parenteral emulsions)

IT Filters and Filtering materials
(micro-, membranes, filter system for removal of pathogenic microorganisms from anesthetic parenteral emulsions)

IT Pharmaceutical dosage forms
(parenterals, emulsions; filter system for removal of pathogenic microorganisms from anesthetic parenteral emulsions)

IT 2078-54-8, Propofol
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(filter system for removal of pathogenic microorganisms from anesthetic parenteral emulsions)

ACCESSION NUMBER: 1996:169242 CAPLUS
 DOCUMENT NUMBER: 124:250946
 TITLE: .beta.-Carboxy sulfonamide acyl CoA:cholesterol
 acyltransferase (ACAT) inhibitors useful for treating
 hypercholesterolemia and atherosclerosis
 INVENTOR(S): Lee, Helen T.; Picard, Joseph A.; Sliskovic, Drago R.
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA
 SOURCE: U.S., 15 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5491170	A	19960213	US 94-359115	19941219
WO 9619446	A1	19960627	WO 95-US14009	19951027

W: CA, JP, MX
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 PRIORITY APPLN. INFO.: US 94-359115 19941219
 OTHER SOURCE(S): MARPAT 124:250946
 AB .beta.-Carboxy sulfonyl compds. (Markush included) are potent inhibitors
 of ACAT and are thus useful for treating hypercholesterolemia and
 atherosclerosis. Prepn. of compds., e.g. 2,4,6-
 triisopropylphenyl(2,6,diisopropylphenylsulfamoyl)acetate, is included,
 as
 are IC50 values for ACAT inhibition and pharmaceutical formulations
 contg.
 compds. of the invention.
 IC ICM A61K031-19
 ICS A61K031-215; C07C311-25
 NCL 514538000
 CC 1-10 (Pharmacology)
 Section cross-reference(s): 7, 25, 63
 IT Pharmaceutical dosage forms
 (suspensions, oral, carboxy sulfonamide acyl CoA:cholesterol
 acyltransferase inhibitor prepn. for treating hypercholesterolemia and
 atherosclerosis)
 IT 64-17-5, Ethanol, reactions 91-00-9, Diphenylmethylaniline 102-97-6
 111-26-2, 1-Hexanamine 111-31-9, 1-Hexanethiol 111-88-6,
 1-Octanethiol
 118-72-9, 2,6-Dimethylthiophenol 123-43-3, Sulfoacetic acid 124-22-1,
 N-Dodecylaniline 143-10-2, 1-Decanethiol 367-25-9, 2,4-Difluoroaniline
 1120-48-5 1322-36-7, Dodecylthiol 2078-54-8,
 2,6-Diisopropylphenol 2885-00-9, 1-Octadecanethiol 2934-07-8,
 2,4,6-Triisopropylphenol 4706-81-4, 2-Tetradecanol 14227-17-9,
 2,4,6-Trimethoxyaniline 20491-92-3, 2,4,6-Trimethoxyphenol
 21524-36-7,
 2,4,6-Triisopropylaniline 24544-04-5, 2,6-Diisopropylaniline
 25917-35-5, Hexanol 27196-00-5, Tetradecanol 27342-88-7, Dodecanol
 29063-28-3, Octanol 36729-58-5, Decanol 91638-62-9 94594-37-3,
 Tetradecanethiol 139476-73-6 175343-28-9
 RL: RCT (Reactant)
 (carboxy sulfonamide acyl CoA:cholesterol acyltransferase inhibitor
 prepn. for treating hypercholesterolemia and atherosclerosis)

L12 ANSWER 7 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1995:863623 CAPLUS

DOCUMENT NUMBER: 123:266114

TITLE: Oil-in-water emulsions containing galactolipids as emulsifiers

INVENTOR(S): Carlsson, Anders; Delogu, Marina; Hersloef, Bengt

PATENT ASSIGNEE(S): Karlshamns Lipidteknik AB, Swed.

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520943	A1	19950810	WO 95-SE115	19950206
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US			
RW:	KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
SE 9402454	A	19960113	SE 94-2454	19940712
CA 2182575	AA	19950810	CA 95-2182575	19950206
AU 9517233	A1	19950821	AU 95-17233	19950206
AU 691248	B2	19980514		
ZA 9500939	A	19951009	ZA 95-939	19950206
ZA 9500940	A	19951009	ZA 95-940	19950206
ZA 9500941	A	19951009	ZA 95-941	19950206
CN 1140406	A	19970115	CN 95-191500	19950206
HU 75464	A2	19970528	HU 96-2141	19950206
JP 09508413	T2	19970826	JP 95-520555	19950206
EP 797432	A1	19971001	EP 95-909183	19950206
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, LT			
BR 9506681	A	19971118	BR 95-6681	19950206
US 5688528	A	19971118	US 96-676138	19960715
NO 9603240	A	19960802	NO 96-3240	19960802
FI 9603064	A	19960930	FI 96-3064	19960802
LV 11726	B	19971020	LV 96-323	19960802

PRIORITY APPLN. INFO.:

SE 94-368	19940204
SE 94-2454	19940712
WO 95-SE115	19950206

AB An oil-in-water emulsion comprises 0.01-50% by wt. of the total prepn., preferably 0.1-10%, of a galactolipid material as an emulsifier. The galactolipid material consists of at least 50% digalactosyldiacylglycerols, the remainder being other polar lipids. The emulsion is suitable as a carrier for one or more active substances in a pharmaceutical compn., but also in cosmetics, nutritional, food and agricultural products. A parenteral emulsion contained digalactosyldiacylglycerols extd. from oat 1.27, soybean oil 10.57, 2,6-diisopropylphenol 1.05, glycerol 2.24, and water q.s. 100.00%.

IC ICM A61K009-127

ICS A61K009-50; A61K031-70

CC 63-6 (Pharmaceuticals)

ST emulsion galactolipid emulsifier; digalactosyldiacylglycerol soybean oil

parenteral emulsion

IT Pharmaceutical dosage forms
(**oral**, oil-in-water emulsions contg. galactolipids as emulsifiers)

IT Pharmaceutical dosage forms
(**parenterals**, oil-in-water emulsions contg. galactolipids as emulsifiers)

IT 58-95-7, Vitamin e acetate 137-66-6, Ascorbyl palmitate 506-26-3, .gamma.-Linolenic acid 506-26-3D, .gamma.-Linolenic acid, salts and esters **2078-54-8**, 2,6-Diisopropylphenol 6217-54-5, Docosahexaenoic acid 10417-94-4, Eicosapentaenoic acid
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oil-in-water emulsions contg. galactolipids as emulsifiers)

L12 ANSWER 8 OF 13 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1995:416584 CAPLUS
DOCUMENT NUMBER: 122:169869
TITLE: Stability of propofol with **parenteral** nutrient solutions during simulated Y-site injection
AUTHOR(S): Bhatt-Mehta, Varsha; Paglia, Rosanne E.; Rosen, David A.
CORPORATE SOURCE: College Pharmacy, University Michigan, USA
SOURCE: Am. J. Health-Syst. Pharm. (1995), 52(2), 192-6
CODEN: AHSPEK; ISSN: 1079-2082
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The stability of propofol in 3 parenteral nutrient (PN) solns. was studied. Propofol 2 and 3 mg/mL was stable for 5 h during simulated Y-site injection with PN solns. contg. 1.5, 2.5, and 5% amino acids. Propofol 0.5 mg/mL was stable during simulated Y-site injection with the same PN nutrition solns. for 5 h, except for the soln. contg. 1.5% amino acid.

CC **63-5** (Pharmaceuticals)
ST propofol **parenteral** nutrient soln injection stability
IT Particle size
(stability of propofol in **parenteral** nutrient solns. during simulated Y-site injection)

IT Amino acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stability of propofol in **parenteral** nutrient solns. during simulated Y-site injection)

IT Nutrients
(**parenteral**, stability of propofol in **parenteral** nutrient solns. during simulated Y-site injection)

IT **2078-54-8**, Propofol
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study);
USES
(Uses)
(stability of propofol in **parenteral** nutrient solns. during simulated Y-site injection)

L12 ANSWER 9 OF 13 CAPLUS COPYRIGHT 1999 ACS
ACCESSION NUMBER: 1994:226984 CAPLUS
DOCUMENT NUMBER: 120:226984
TITLE: Compositions of **oral** nondissolvable matrixes for transmucosal administration of medicaments
INVENTOR(S): Stanley, Theodore H.; Hague, Brian

PATENT ASSIGNEE(S): University of Utah Research Foundation, USA
 SOURCE: U.S., 20 pp. Cont.-in-part of U.S. 4,863,737.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5288498	A	19940222	US 89-403752	19890905
US 4671953	A	19870609	US 85-729301	19850501
JP 05501539	T2	19930325	JP 89-504878	19890816
JP 2801050	B2	19980921		
AU 641127	B2	19930916	AU 89-40704	19890816
EP 487520	B1	19950412	EP 89-909497	19890816
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 120953	E	19950415	AT 89-909497	19890816
CA 1338978	A1	19970311	CA 89-609378	19890824
AU 9050352	A1	19910408	AU 90-50352	19890905
AU 645966	B2	19940203		
EP 493380	A1	19920708	EP 90-902584	19890905
EP 493380	B1	19971029		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5132114	A	19920721	US 89-402881	19890905
JP 05501854	T2	19930408	JP 90-502779	19890905
CA 1339075	A1	19970729	CA 89-610329	19890905
AT 159658	E	19971115	AT 90-902584	19890905
WO 9103236	A1	19910321	WO 90-US4369	19900803
W: AU, CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9063371	A1	19910408	AU 90-63371	19900803
AU 642664	B2	19931028		
EP 490944	A1	19920624	EP 90-913359	19900803
EP 490944	B1	19960529		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05500058	T2	19930114	JP 90-512483	19900803
JP 2749198	B2	19980513		
AT 138562	E	19960615	AT 90-913359	19900803
ES 2089027	T3	19961001	ES 90-913359	19900803
CA 2066403	C	19980414	CA 90-2066403	19900803
NO 9200565	A	19920213	NO 92-565	19920213
DK 9200193	A	19920214	DK 92-193	19920214
NO 9200858	A	19920304	NO 92-858	19920304
NO 9200855	A	19920410	NO 92-855	19920304
NO 9200854	A	19920427	NO 92-854	19920304
DK 9200300	A	19920505	DK 92-300	19920305
AU 9460697	A1	19940623	AU 94-60697	19940427
US 5855908	A	19990105	US 94-339655	19941115
PRIORITY APPLN. INFO.:				
			US 85-729301	19850501
			US 87-60045	19870608
			EP 89-909497	19890816
			WO 89-US3518	19890816
			US 89-403752	19890905
			WO 89-US3801	19890905
			WO 90-US4369	19900803
			US 93-152414	19931112

AB Compns. and methods of manuf. for producting a medicament compn. capable of absorption through the mucosal tissues of the mouth, pharynx, and esophagus are disclosed. The present invention relates to such compns. and methods which are useful in administering lipophilic and

nonlipophilic

drugs in a dose-to-effect manner such that sufficient drug is administered

to produce precisely a desired effect. The invention also relates to manufg. techniques that enable therapeutic agents to be incorporated into nondissolvable drug containment matrixes which are capable of releasing the drug within a patient's mouth. An appliance or holder is preferably attached to the drug containment matrix. Employing the present invention the drug may be introduced into the patient's bloodstream almost as fast as through injection, and much faster than using the oral administration route, while avoiding the neg. aspects of both of these methods. The nondissolvable drug containment matrix may include permeation enhancers

to

increase the drug adsorption by the mucosal tissues of the mouth. The matrix compn. may also include pH buffering agents to modify the saliva

pH

thereby increasing the absorption of the drug through the mucosal

tissues.

Figures show views of some dosage forms.

IC ICM A61K009-68

NCL 424440000

CC 63-6 (Pharmaceuticals)

IT 50-56-6, Oxytocin 50-56-6, Oxytocin, biological studies 50-57-7, Lypressin 51-30-9, Isoproterenol hydrochloride 51-34-3, Scopolamine 51-43-4, Epinephrine 51-55-8, Atropine, biological studies 51-61-6, Dopamine, biological studies 52-86-8, Haloperidol 53-86-1, Indomethacin 54-11-5, Nicotine 54-31-9, Furosemide 55-63-0, Nitroglycerin 56-29-1, Hexobarbital 58-38-8, Prochlorperazine 58-55-9, Theophylline, biological studies 58-82-2, Bradykinin

59-41-6,

Bretylium 59-92-7, Levodopa, biological studies 60-79-7, Ergonovine 63-12-7, Benzquinamide 67-52-7, Barbiturate 76-74-4, Pentobarbital 76-75-5, Thiopental 77-10-1, Phencyclidine 77-27-0, Thiamylal 108-95-2D, Phenol, derivs. 113-15-5, Ergotamine 129-51-1, Oxytocic 137-58-6, Lidocaine 138-56-7, Trimethobenzamide 151-83-7,

Methohexital

317-34-0, Aminophylline 361-37-5, Methysergide 364-62-5, Metoclopramide 437-38-7, Fentanyl 439-14-5, Diazepam 465-65-6, Naloxone 479-18-5, Dyphylline 495-40-9, Butyrophenone 511-12-6, Dihydroergotamine 525-66-6, Propranolol 530-08-5, Isoetharine 548-73-2, Droperidol 569-65-3, Meclizine 586-06-1, Metaproterenol 604-75-1, Oxazepam 644-62-2, Meclofenamate 652-67-5, Isosorbide 846-49-1, Lorazepam 848-75-9, Lormetazepam 1400-61-9, Nystatin 1421-14-3, Propanidid 2078-54-8, Propofol 3385-03-3, Flunisolide 4205-90-7, Clonidine 4419-39-0, Beclomethasone 4499-40-5, Oxtriphylline 5104-49-4, Flurbiprofen 6740-88-1, Ketamine 9002-60-2, Adrenocorticotrophic hormone, biological studies 9002-64-6, Parathyroid hormone 9002-72-6, Growth hormone 9004-10-8, Insulin, biological studies 9005-49-6, Heparin, biological studies 9007-12-9, Calcitonin 9041-90-1, Angiotensin I 11000-17-2, Vasopressin 12794-10-4, Benzodiazepine 15078-28-1, Nitroprusside 15307-86-5, Diclofenac 15687-27-1, Ibuprofen 17560-51-9, Metolazone 18559-94-9, Albuterol 20594-83-6, Nalbuphine 21829-25-4, Nifedipine 22071-15-4,

Ketoprofen 23031-25-6, Terbutaline 23593-75-1, Clotrimazole 28860-95-9, Carbidopa 28911-01-5, Triazolam 33125-97-2, Etomidate 36322-90-4, Piroxicam 36894-69-6, Labetolol 37350-58-6, Metoprolol 42200-33-9, Nadolol 54182-58-0, Sucralfate 54767-75-8, Suloctidil 56030-54-7, Sufentanil 59467-70-8, Midazolam 59708-52-0, Carfentanil 60617-12-1, .beta.-Endorphin 61380-40-3, Lofentanil 62288-83-9, Desmopressin acetate 62571-86-2, Captopril 71195-58-9, Alfentanil 74103-07-4, Ketorolac tromethamine 75847-73-3, Enalapril 81147-92-4, Esmolol 99614-02-5, Ondansetron 103628-46-2, Sumatriptan
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (transmucosal pharmaceuticals contg.)

L12 ANSWER 10 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1994:226981 CAPLUS

DOCUMENT NUMBER: 120:226981

TITLE: Compositions of **oral** dissolvable medicaments

INVENTOR(S): Stanley, Theodore H.; Hague, Brian

PATENT ASSIGNEE(S): University of Utah, USA

SOURCE: U.S., 22 pp. Cont.-in-part of U.S. 4,863,737.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5288497	A	19940222	US 89-403751	19890905
US 4671953	A	19870609	US 85-729301	19850501
JP 05501539	T2	19930325	JP 89-504878	19890816
JP 2801050	B2	19980921		
AU 641127	B2	19930916	AU 89-40704	19890816
EP 487520	B1	19950412	EP 89-909497	19890816
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 120953	E	19950415	AT 89-909497	19890816
CA 1338978	A1	19970311	CA 89-609378	19890824
AU 9050352	A1	19910408	AU 90-50352	19890905
AU 645966	B2	19940203		
EP 493380	A1	19920708	EP 90-902584	19890905
EP 493380	B1	19971029		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 5132114	A	19920721	US 89-402881	19890905
JP 05501854	T2	19930408	JP 90-502779	19890905
CA 1339075	A1	19970729	CA 89-610329	19890905
AT 159658	E	19971115	AT 90-902584	19890905
WO 9103237	A1	19910321	WO 90-US4384	19900803
W: AU, CA, JP, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9062877	A1	19910408	AU 90-62877	19900803
AU 645265	B2	19940113		
EP 490916	A1	19920624	EP 90-912733	19900803
EP 490916	B1	19951018		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05503917	T2	19930624	JP 90-512229	19900803
EP 630647	A1	19941228	EP 94-111352	19900803
EP 630647	B1	19990303		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				

AT 129148	E	19951115	AT 90-912733	19900803
ES 2077686	T3	19951201	ES 90-912733	19900803
CA 2066423	C	19980414	CA 90-2066423	19900803
AT 177007	E	19990315	AT 94-111352	19900803
NO 9200565	A	19920213	NO 92-565	19920213
DK 9200193	A	19920214	DK 92-193	19920214
NO 9200857	A	19920406	NO 92-857	19920304
NO 9200855	A	19920410	NO 92-855	19920304
NO 9200854	A	19920427	NO 92-854	19920304
DK 9200300	A	19920505	DK 92-300	19920305
AU 9455218	A1	19940428	AU 94-55218	19940218
AU 668004	B2	19960418		
AU 9460697	A1	19940623	AU 94-60697	19940427
US 5824334	A	19981020	US 96-636828	19960419
US 5783207	A	19980721	US 97-795359	19970204
US 5785989	A	19980728	US 97-822560	19970319
PRIORITY APPLN. INFO.:			US 85-729301	19850501
			US 87-60045	19870608
			EP 89-909497	19890816
			WO 89-US3518	19890816
			US 89-403751	19890905
			WO 89-US3801	19890905
			EP 90-912733	19900803
			WO 90-US4384	19900803
			US 93-152396	19931112
			US 94-333233	19941102
			US 95-439127	19950511

AB Compsn. and methods of manuf. for producing a medicament compn. capable of absorption through the mucosal tissues of the mouth, pharynx, and esophagus are disclosed. The present invention relates to such comps. and methods which are useful in administering lipophilic and nonlipophilic drugs in a dose-to-effect manner that sufficient drug is administered to produce precisely a desired effect. The invention also relates to a manufg. technique that enables a therapeutic agent or drug to be incorporated into a flavored dissolvable matrix. An appliance or holder is preferably attached to the dissolvable matrix. Employing the present invention the drug may be introduced into the patient's bloodstream almost as fast as through injection, and much faster than using the oral administration route, while avoiding the neg. aspects of both of these methods. The present invention achieves these advantages by incorporating the drug into a carbohydrate, fat, protein, wax, or other dissolvable matrix compn. The dissolvable matrix may include permeation enhancers to increase the drug absorption by the mucosal tissues of the mouth. The matrix compn. may also include pH buffering agents to modify the salival pH thereby increasing the absorption of the drug through the mucosal tissue. Methohexital sodium was incorporated into a dissolvable matrix including citric acid; ribotide; Compritol 888; aspartame; vanilla, wild cherry, and peppermint microcapsules; compressible sugar; and maltodextrin.

IC ICM A61K009-68
NCL 424440000
CC 63-6 (Pharmaceuticals)
IT 50-56-6, Oxytocin 50-56-6, Oxytocin, biological studies 50-57-7,

Lypressin 51-30-9, Isoproterenol hydrochloride 51-34-3, Scopolamine
 51-43-4, Epinephrine 51-55-8, Atropine, biological studies 51-61-6,
 Dopamine, biological studies 52-86-8, Haloperidol 53-86-1,
 Indomethacin 54-11-5, Nicotine 54-31-9, Furosemide 55-63-0,
 Nitroglycerin 56-29-1, Hexobarbital 58-38-8, Prochlorperazine
 58-55-9, Theophylline, biological studies 58-82-2, Bradykinin
 59-41-6,
 Bretylium 59-92-7, Levodopa, biological studies 60-79-7, Ergonovine
 63-12-7, Benzquinamide 67-52-7, Barbiturate 76-74-4, Pentobarbital
 76-75-5, Thiopental 77-10-1, Phencyclidine 77-27-0, Thiamylal
 108-95-2D, Phenol, derivs. 113-15-5, Ergotamine 129-51-1, Oxytocic
 137-58-6, Lidocaine 138-56-7, Trimethobenzamide 151-83-7,
 Methohexital
 309-36-4, Methohexital sodium 317-34-0, Aminophylline 361-37-5,
 Methysergide 364-62-5, Metoclopramide 437-38-7, Fentanyl 439-14-5,
 Diazepam 465-65-6, Naloxone 479-18-5, Dyphylline 495-40-9,
 Butyrophene 511-12-6, Dihydroergotamine 525-66-6, Propranolol
 530-08-5, Isoetharine 548-73-2, Droperidol 569-65-3, Meclizine
 586-06-1, Metaproterenol 604-75-1, Oxazepam 644-62-2, Meclofenamate
 652-67-5, Isosorbide 846-49-1, Lorazepam 848-75-9, Lormetazepam
 1400-61-9, Nystatin 1421-14-3, Propanidid 2078-54-8, Propofol
 3385-03-3, Flunisolide 4205-90-7, Clonidine 4419-39-0, Beclomethasone
 4499-40-5, Oxtriphylline 5104-49-4, Flurbiprofen 6740-88-1, Ketamine
 9002-60-2, Adrenocorticotrophic hormone, biological studies 9002-64-6,
 Parathyroid hormone 9002-72-6, Growth hormone 9004-10-8, Insulin,
 biological studies 9005-49-6, Heparin, biological studies 9007-12-9,
 Calcitonin 9041-90-1, Angiotensin I 11000-17-2, Vasopressin
 12794-10-4, Benzodiazepine 15078-28-1, Nitroprusside 15307-86-5,
 Diclofenac 15687-27-1, Ibuprofen 17560-51-9, Metolazone 18559-94-9,
 Albuterol 20594-83-6, Nalbuphine 21829-25-4, Nifedipine 22071-15-4,
 Ketoprofen 23031-25-6, Terbutaline 23593-75-1, Clotrimazole
 28860-95-9, Carbidopa 28911-01-5, Triazolam 33125-97-2, Etomidate
 36322-90-4, Piroxicam 36894-69-6, Labetolol 37350-58-6, Metoprolol
 42200-33-9, Nadolol 54182-58-0, Sucralfate 54767-75-8, Suloctidil
 56030-54-7, Sufentanil 59467-70-8, Midazolam 59708-52-0, Carfentanil
 60617-12-1, .beta.-Endorphin 61380-40-3, Lofentanil 62288-83-9,
 Desmopressin acetate 62571-86-2, Captopril 71195-58-9, Alfentanil
 74103-07-4, Ketorolac tromethamine 75847-73-3, Enalapril 81147-92-4,
 Esmolol 99614-02-5, Ondansetron 103628-46-2, Sumatriptan
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (transmucosal pharmaceuticals contg.)

L12 ANSWER 11 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1994:62264 CAPLUS

DOCUMENT NUMBER: 120:62264

TITLE: Cyclodextrin derivative preparation, and formulated
drugs of inclusion complexes of Propofol or

Alfaxalone

with the modified cyclodextrins

INVENTOR(S): Palmer, Clive Frederick; Ho, Paul Chi Cui; Brown,
Susan Elisabeth; May, Bruce Lindley; Schiesser,
Deborah Susanne; Luo, Yin; Dennis, Nicholas; Lincoln,
Stephen Frederick; Coates, John Hewlett; et al.

PATENT ASSIGNEE(S): Australian Commercial Research and Development Ltd.,
Australia

SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9317711	A1	19930916	WO 93-AU100	19930309
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9336241	A1	19931005	AU 93-36241	19930309
EP 630261	A1	19941228	EP 93-905115	19930309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				

SE

PRIORITY APPLN. INFO.:

AU 92-1288	19920311
AU 92-1915	19920415
AU 92-2182	19920429
AU 92-3612	19920720
AU 92-3673	19920723
AU 92-3674	19920723
AU 92-3836	19920731
AU 92-4119	19920817
AU 92-4409	19920831
AU 92-4747	19920917
AU 93-7061	19930202
WO 93-AU100	19930309

OTHER SOURCE(S): MARPAT 120:62264

AB Inclusion complexes are disclosed which comprise Propofol or Alfaxalone (I) and a cyclodextrin deriv. The inclusion complexes increase the soly. of these 2 anesthetics. Prepn. of the cyclodextrin derivs. is included. The soly. of I in 10.04% 6A-amino-6A-N-(4-aminobutyl)-6A-deoxy-.beta.-cyclodextrin (II) (prepn. given) was 13.4 mg/mL (the soly. of I in water is 3.6 .mu.g/mL). No pptn. was obsd. when the soln. was stored refrigerated overnight. When the I-II soln. was injected i.p. in rats,

an

anesthetic effect was obsd.

IC A61K047-40; A61K031-57

CC 63-5 (Pharmaceuticals)

Section cross-reference(s): 33

IT Pharmaceutical dosage forms

(oral, of inclusion complexes of Alfaxalone or Propfol with cyclodextrin derivs., improved soly. in relation to)

IT Pharmaceutical dosage forms

(parenterals, of inclusion complexes of Alfaxalone or Propfol with cyclodextrin derivs., improved soly. in relation to)

IT 2078-54-8D, Propofol, inclusion complexes with cyclodextrin derivs.

RL: BIOL (Biological study)

(for improved Propofol soly.)

L12 ANSWER 12 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1994:14965 CAPLUS

DOCUMENT NUMBER: 120:14965

TITLE: Method and device for filtering a parenteral

emulsion-containing medicament fluid
 INVENTOR(S): Bormann, Thomas J.; Matkovich, Vlado I.; Gsell,
 Thomas
 C.; Delgiacco, Gerard R.
 PATENT ASSIGNEE(S): Pall Corp., USA
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9322029	A1	19931111	WO 93-US4021	19930428
W: CA, GB, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5536413	A	19960716	US 92-875774	19920429
EP 637986	A1	19950215	EP 93-910894	19930428
R: DE, FR, GB, IT				
GB 2280860	A1	19950215	GB 94-20642	19930428
GB 2280860	B2	19960508		
JP 07506371	T2	19950713	JP 93-519506	19930428
PRIORITY APPLN. INFO.:				
			US 92-875774	19920429
			US 90-620775	19901203
			WO 93-US4021	19930428

AB A method and device for filtering a parenteral emulsion-contg. medicament fluid and removing microorganisms therefrom is disclosed. A filter assembly having a filtration element in the form of a Ultipor N66 membrane having a microorganism blocking pore rating of 0.45.mu.m was used for filtration of Diprivan contg. 4.8x10⁵ Moraxella/20mL at a rate of 20, and 1.5 mL/min. No organisms were recovered downstream and the filter was not clogged.

IC ICM B01D037-00
 ICS B01D027-00

CC 63-8 (Pharmaceuticals)

ST **parenteral** emulsion microorganism filtration device; Moraxella filtration device **parenteral** emulsion

IT Bacteria
 Microorganism
 (filtration of, from **parenteral** emulsions, device for)

IT Filters and Filtering materials
 (micro-, for filtration of **parenteral** emulsions, from microorganism)

IT Pharmaceutical dosage forms
 (**parenterals**, emulsions, filtration of, from microorganisms, device for)

IT 2078-54-8, Diprivan
 RL: USES (Uses)
 (filtration of, from microorganisms, device for)

IT 32131-17-2, Ultipor N66, biological studies 123263-21-8, Loprodyne
 RL: BIOL (Biological study)
 (membrane, filtration device comprising, for filtration of **parenteral** emulsions from microorganism)

L12 ANSWER 13 OF 13 CAPLUS COPYRIGHT 1999 ACS

ACCESSION NUMBER: 1992:619913 CAPLUS

DOCUMENT NUMBER: 117:219913

TITLE: Osmolalities of propylene glycol-containing drug formulations for **parenteral** use. Should propylene glycol be used as a solvent?

AUTHOR(S): Doenicke, Alfred; Nebauer, Alexander E.; Hoernecke, Rainer; Mayer, Michael; Roizen, Michael F.

CORPORATE SOURCE: Inst. Anaesthesiol., Ludwig-Maximilians-Univ., Munich, Germany

SOURCE: Anesth. Analg. (N. Y.) (1992), 75(3), 431-5

CODEN: AACRAT; ISSN: 0003-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Propylene glycol (PG) is a widely used vehicle for water-insol. drugs. Injection of drugs formulated with this solvent often results in pain, thrombosis, or thrombophlebitis that can be reduced by premedication with local anesthetics or opioids. Because osmolality and pH that are unphysiol. may cause there adverse effects, we assessed the contribution of PG to the osmolality of parenteral drug formulations. Osmolality of

PG measured in distd. water showed that PG content and osmolality were directly related: 2% wt./vol. PG, 264 mOsm/L; 100% PG, 15,200 mOsm/L.

The osmolalities of com. available preps. of drugs dissolved in PG ranged from 365 mOsm/L (2% PG content) to 12,800 mOsm/L (83.46% PG), with most above 1000 mOsm/L. Replacement of PG by a solvent with lower osmolality has effectively reduced the incidence of side effects for one drug.

Until PG can be replaced in drugs, we recommend dilg. drugs in a large vol. of saline soln.; this may help to minimize the undesirable effects of this solvent.

CC 63-5 (Pharmaceuticals)

ST propylene glycol **parenteral** soln osmolality

IT Physiological saline solutions

(**parenteral** solns. contg. propylene glycol and, osmolality of)

IT Concentration condition

(osmolality, of propylene glycol-contg. **parenteral** solns.)

IT Pharmaceutical dosage forms

(**parenterals**, propylene glycol-contg., osmolality of)

IT 50-06-6, Phenobarbital, biological studies 50-99-7, Glucose, biological studies 55-63-0, Nitroglycerin 58-55-9, Theophylline, biological studies 71-63-6, Digitoxin 439-14-5, Diazepam 603-00-9, Proxyphylline 846-49-1, Lorazepam 848-75-9, Lormetazepam 2078-54-8, Propofol 8064-90-2, Cotrimoxazole 33125-97-2, Etomidate 34661-75-1, Urapidil

RL: BIOL (Biological study)

(**parenteral** solns. contg. propylene glycol and, osmolality of)

IT 57-55-6, Propylene glycol, biological studies

RL: BIOL (Biological study)

(**parenteral** solns. contg., osmolality of)